L11 ANSWER 57 OF 59 USPATFULL

MMITS

. . . invention relates to a novel compound possessing both antibacterial and comedolytic activity and to compositions thereof for the treatment of acne.

SUMM

Acne is a disease of the pilosebaceous units of the skin and is characterized by the formation of comedones (whiteheads and blackheads); inflamatory papules; pustules and in more severe cases, inflammatory granulomas (cysts) and hypertrophic scars. Topical treatments for acne are mainly aimed at reducing the number of comedones and the intensity of inflammation. There are three known factors which are important in the pathogenesis of acne: (1) hyperactive sebaceous gland, (2) obstruction to the pilosebaceous apparatus by excessive keratinization of the follicula epithelial, and (3) initiation. . .

SUMM

Various treatments of acne are primarily focused on the three aforementioned factors. The suppression of sebaceous gland activity or sebum excretion rate can now be accomplished by the oral 13-cis retinoic acid therapy. The correction of the abnormal or excessive keratinization is accomplished by topical treatment with comedolytic agents such as transretinoic acid and salicylic acid which are effective in reducing the number of comedones. Reduction of the inflammation process can be achieved by the topical application of potent antimicrobial agent such as benzoyl peroxide

which

is extremely effective in reducing the number of the acne bacillus, Propionibacterium acnes.

SUMM

. . . in U.S. Pat. No. 4,355,028, issued Oct. 19, 1982 to A. Kligman et al. it has been proposed to treat **acne** vulgaris with both salicylic acid and benzoyl peroxide at certain specified levels simultaneously or **sequentially**.

SUMM

. . . possessing both comedolytic and antibacterial activity against P. acnes be found to provide for a simplified yet improved treatment of acne and particularly acne vulgaris.

ACCESSION NUMBER:

85:31566 USPATFULL

TITLE:

Monohydroxy-benzoyl peroxide and compositions for

treating acne

INVENTOR(S):

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PATENT ASSIGNEE(S):

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PATENT INFORMATION:

US 4520133 19850528 US 1983-522207 19830811 (6)

APPLICATION INFO.: DOCUMENT TYPE:

Utility

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NUMBER OF CLAIMS:

5 1,2

EXEMPLARY CLAIM: LINE COUNT:

235

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

CLM What is claimed is:
 1. 2-Hydroxy benzoyl peroxide of the formula ##STR4##

2. A composition for the topical treatment of acne in the form of a lotion, cream, gel or solution containing from about 1 to about 20% by

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L11 ANSWER 5 OF 59 USPATFULL
        . . . inventión relates to the use of the compounds of Formula 1 for
 SUMM
        the treatment of skin-related diseases, including, without limitation,
        actinic keratoses, arsenic keratoses, inflammatory and
        non-inflammatory acne, psoriasis, ichthyoses and other
        keratinization and hyperproliferative disorders of the skin, eczema,
        atopic dermatitis, Darriers disease, lichen planus, prevention and
        reversal of glucocorticoid damage (steroid atrophy), as a
        topical anti-microbial, as skin anti-pigmentation agents and to
        treat and reverse the effects of age and photo damage to the skin..
          and malignant hyperproliferative diseases such as cancers of the
        breast, skin, prostate, cervix, uterus, colon, bladder, esophagus,
        stomach, lung, larynx, oral cavity, blood and lymphatic
        system, metaplasias, dysplasias, neoplasias, leukoplakias and
 papillomas
       of the mucous membranes and in the treatment of.
 SUMM
        . . . of certain diseases or conditions. For this purpose the
       retinoid antagonist and/or inverse agonist compounds of the invention
       may be co-administered with retinoids. The retinoid
       antagonist and inverse agonist compounds of the present invention are
       also useful in the treatment of. . .
 SUMM
        . . including a human being, to treat or alleviate the conditions
       which were described above as treatable by retinoids, to be co
       -administered with retinoids to eliminate or reduce side
       effects of retinoids, or to treat retinoid or Vitamin A overdose or
       poisoning.
SUMM
       . . . Such concentrations can be arrived at through routine
       experimentation. However, it is anticipated that in the treatment of,
       for example, acne, or similar dermatoses, that a formulation
       containing between 0.01 and 1.0 milligrams per milliliter of
formulation
       will constitute a therapeutically.
       . . inverse agonist compounds of the invention, when used to take
SUMM
       advantage of their antagonist and/or inverse agonist property, can be
       co-administered to mammals, including humans, with
       retinoid agonists and, by means of pharmacological selectivity or
       site-specific delivery, preferentially prevent the undesired. .
SUMM
            . vitamin A precursor, or other retinoid) has been discontinued.
       Alternatively, the antagonist and/or inverse agonist compounds of the
       invention are co-administered with retinoid drugs,
       in situations where the retinoid provides a therapeutic benefit, and
       where the co-administered antagonist and/or inverse
       agonist compound alleviates or eliminates one or more undesired side
       effects of the retinoid. For this type. . . agonist compound may be
       administered in a site-specific manner, for example as a topically
       applied cream or lotion while the co-administered
       retinoid may be given enterally. For therapeutic applications the
       antagonist compounds of the invention, like the retinoid agonists
       compounds, are. . . and the like, using such pharmaceutically
       acceptable excipients and vehicles which per se are well known in the
       art. For topical application, the antagonist and/or inverse
       agonist compounds of the invention could also be administered as a
       powder or spray, particularly. . . it may be confected as a powder,
       pill, tablet or the like or as a syrup or elixir suitable for
       oral administration. For intravenous or intraperitoneal
       administration, the compound will be prepared as a solution or
       suspension capable of being administered.
SUMM
       . . dose. A therapeutic concentration will be that concentration
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